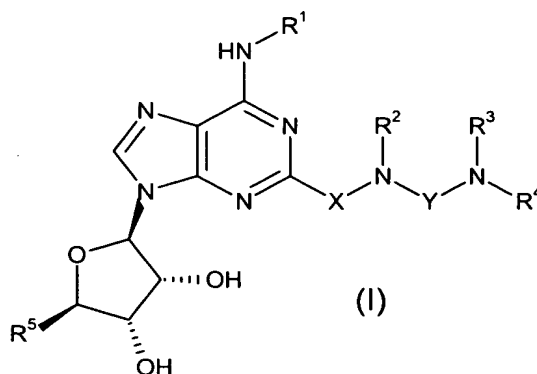


CLAIMS

1. A compound of the formula



or a pharmaceutically acceptable salt or solvate thereof, wherein

- 5 R^1 is (i) H, (ii) C_1 - C_6 alkyl optionally substituted by 1 or 2 substituents each independently selected from phenyl, naphthyl and fluorenyl, said phenyl, naphthyl and fluorenyl being optionally substituted by C_1 - C_6 alkyl, C_1 - C_6 alkoxy, halo or cyano, or (iii) fluorenyl;
- R^2 is H or C_1 - C_6 alkyl;
- 10 either, R^3 and R^4 , taken together with the nitrogen atom to which they are attached, represent azetidiny, pyrrolidiny, piperidiny, piperaziny, homopiperidiny or homopiperaziny, each being optionally substituted on a ring nitrogen or carbon atom by C_1 - C_6 alkyl or C_3 - C_8 cycloalkyl and optionally substituted on a ring carbon atom not adjacent to a ring nitrogen atom by
- 15 $-NR^6R^7$ or $-OR^9$,
or, R^3 is H, C_1 - C_6 alkyl, C_3 - C_8 cycloalkyl or benzyl, said C_1 - C_6 alkyl being optionally substituted by C_3 - C_8 cycloalkyl, and R^4 is
- (a) C_1 - C_6 alkyl, C_3 - C_8 cycloalkyl or R^{15} , said C_1 - C_6 alkyl being optionally substituted by R^{15} , or
- 20 (b) $-(C_2-C_6 \text{ alkylene})-R^8$, or
(c) $-(C_1-C_6 \text{ alkylene})-R^{13}$;
- R^5 is $-CH_2OH$ or $-CONR^{14}R^{14}$;
- R^6 and R^7 are either each independently H or C_1 - C_6 alkyl or, taken together with the nitrogen atom to which they are attached, represent azetidiny, pyrrolidiny or piperidiny, said
- 25 azetidiny, pyrrolidiny and piperidiny being optionally substituted by C_1 - C_6 alkyl;
- R^8 is (i) azetidin-1-yl, pyrrolidin-1-yl, piperidin-1-yl, morpholin-4-yl, piperazin-1-yl, homopiperidin-1-yl, homopiperazin-1-yl or tetrahydroisoquinolin-1-yl, each being optionally substituted on a ring carbon atom by C_1 - C_6 alkyl, C_3 - C_8 cycloalkyl, phenyl, C_1 - C_6 alkoxy- (C_1-C_6) -alkyl, R^9R^9N - (C_1-C_6) -alkyl, fluoro- (C_1-C_6) -alkyl, $-CONR^9R^9$, $-COOR^9$ or C_2 - C_5 alkanoyl,

optionally substituted on a ring carbon atom not adjacent to a ring nitrogen atom by fluoro-(C₁-C₆)-alkoxy, halo,

-OR⁹, cyano, -S(O)_mR¹⁰, -NR⁹R⁹, -SO₂NR⁹R⁹, -NR⁹COR¹⁰ or -NR⁹SO₂R¹⁰ and optionally benzo-fused, and said piperazin-1-yl and homopiperazin-1-yl being optionally substituted on the ring nitrogen atom not attached to the C₂-C₆ alkylene group by C₁-C₆ alkyl, phenyl, C₁-C₆ alkoxy-(C₂-C₆)-alkyl, R⁹R⁹N-(C₂-C₆)-alkyl, fluoro-(C₁-C₆)-alkyl, C₂-C₅ alkanoyl, -COOR¹⁰, C₃-C₈ cycloalkyl, -SO₂R¹⁰,

-SO₂NR⁹R⁹ or -CONR⁹R⁹, or

(ii) -NR¹¹R¹²;

R⁹ is H, C₁-C₆ alkyl, C₃-C₈ cycloalkyl or phenyl;

R¹⁰ is C₁-C₆ alkyl, C₃-C₈ cycloalkyl or phenyl;

R¹¹ is C₁-C₆ alkyl, C₃-C₈ cycloalkyl or benzyl;

R¹² is C₁-C₆ alkyl, C₃-C₈ cycloalkyl, phenyl, benzyl, fluoro-(C₁-C₆)-alkyl, -CONR⁹R⁹, -COOR¹⁰, -COR¹⁰, -SO₂R¹⁰ or -SO₂NR⁹R⁹, said C₁-C₆ alkyl being optionally substituted by phenyl;

R¹³ is phenyl, pyridin-2-yl, pyridin-3-yl or pyridin-4-yl, each being optionally substituted by C₁-C₆ alkyl, C₁-C₆ alkoxy, halo or cyano;

R¹⁴ is H or C₁-C₆ alkyl optionally substituted by cyclopropyl;

R¹⁵ is azetidin-3-yl, pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-3-yl or homopiperidin-4-yl, each being optionally substituted by R¹³, C₁-C₆ alkyl, C₃-C₈ cycloalkyl or benzyl;

m is 0, 1 or 2;

X is -CH₂- or -CH₂CH₂-; and

Y is CO, CS, SO₂ or C=N(CN).

2. A compound of the formula (I), as defined in claim 1, wherein

R¹ is H, C₁-C₆ alkyl or fluorenyl, said C₁-C₆ alkyl being optionally substituted by 1 or 2 substituents each independently selected from phenyl and naphthyl, said phenyl and naphthyl being optionally substituted by C₁-C₆ alkyl, C₁-C₆ alkoxy, halo or cyano;

R² is H or C₁-C₆ alkyl;

either, R³ and R⁴, taken together with the nitrogen atom to which they are attached, represent azetidiny, pyrrolidiny, piperidiny, piperaziny, homopiperidiny or homopiperaziny, each being optionally substituted on a ring nitrogen or carbon atom by C₁-C₆ alkyl or C₃-C₈ cycloalkyl and optionally substituted on a ring carbon atom not adjacent to a ring nitrogen atom by

-NR⁶R⁷,

or, R³ is H, C₁-C₆ alkyl, C₃-C₈ cycloalkyl or benzyl and R⁴ is

(a) azetidin-3-yl, pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-3-yl or homopiperidin-4-yl, each being optionally substituted by C₁-C₆ alkyl, C₃-C₈ cycloalkyl or benzyl, or

(b) -(C₂-C₆ alkylene)-R⁸, or

5 (c) -(C₁-C₆ alkylene)-R¹³;

R⁵ is -CH₂OH or -CONR¹⁴R¹⁴;

R⁶ and R⁷ are either each independently H or C₁-C₆ alkyl or, taken together with the nitrogen atom to which they are attached, represent azetidiny, pyrrolidiny or piperidiny, said azetidiny, pyrrolidiny and piperidiny being optionally substituted by C₁-C₆ alkyl;

10 R⁸ is (i) azetidin-1-yl, pyrrolidin-1-yl, piperidin-1-yl, morpholin-4-yl, piperazin-1-yl, homopiperidin-1-yl, homopiperazin-1-yl or tetrahydroisoquinolin-1-yl, each being optionally substituted on a ring carbon atom by C₁-C₆ alkyl, C₃-C₈ cycloalkyl, phenyl, C₁-C₆ alkoxy-(C₁-C₆)-alkyl, R⁹R⁹N-(C₁-C₆)-alkyl, fluoro-(C₁-C₆)-alkyl, -CONR⁹R⁹, -COOR⁹ or C₂-C₅ alkanoyl, and optionally substituted on a ring carbon atom not adjacent to a ring nitrogen atom by
15 fluoro-(C₁-C₆)-alkoxy, halo,

-OR⁹, cyano, -S(O)_mR¹⁰, -NR⁹R⁹, -SO₂NR⁹R⁹, -NR⁹COR¹⁰ or -NR⁹SO₂R¹⁰, and said piperazin-1-yl and homopiperazin-1-yl being optionally substituted on the ring nitrogen atom not attached to the C₂-C₆ alkylene group by C₁-C₆ alkyl, phenyl, C₁-C₆ alkoxy-(C₂-C₆)-alkyl, R⁹R⁹N-(C₂-C₆)-alkyl, fluoro-(C₁-C₆)-alkyl, C₂-C₅ alkanoyl, -COOR¹⁰, C₃-C₈ cycloalkyl, -SO₂R¹⁰,
20 -SO₂NR⁹R⁹ or -CONR⁹R⁹, or

(ii) -NR¹¹R¹²;

R⁹ is H, C₁-C₆ alkyl, C₃-C₈ cycloalkyl or phenyl;

R¹⁰ is C₁-C₆ alkyl, C₃-C₈ cycloalkyl or phenyl;

R¹¹ is H, C₁-C₆ alkyl, C₃-C₈ cycloalkyl or benzyl;

25 R¹² is H, C₁-C₆ alkyl, C₃-C₈ cycloalkyl, phenyl, benzyl, fluoro-(C₁-C₆)-alkyl, -CONR⁹R⁹, -COOR¹⁰, C₂-C₅ alkanoyl or -SO₂NR⁹R⁹;

R¹³ is phenyl, pyridin-2-yl, pyridin-3-yl or pyridin-4-yl, each being optionally substituted by C₁-C₆ alkyl, C₁-C₆ alkoxy, halo or cyano;

R¹⁴ is H or C₁-C₆ alkyl optionally substituted by cyclopropyl;

30 m is 0, 1 or 2;

X is -CH₂- or -CH₂CH₂-; and

Y is CO, CS, SO₂ or C=N(CN).

3. A compound as claimed in claim 1 wherein R¹ is C₁-C₆ alkyl optionally substituted by 1 or 2 substituents each independently selected from phenyl, naphthyl and
35 fluorenyl, said phenyl, naphthyl and fluorenyl being optionally substituted by C₁-C₆ alkyl, C₁-C₆ alkoxy, halo or cyano.

4. A compound as claimed in claim 3 wherein R^1 is 2,2-diphenyleth-1-yl, 2,2-di(4-chlorophenyl)eth-1-yl, 2,2-di(3-chlorophenyl)eth-1-yl, 2,2-di(4-methylphenyl)eth-1-yl, 2,2-di(3-methylphenyl)eth-1-yl, naphth-1-ylmethyl or fluoren-9-ylmethyl.
5. A compound as claimed in claim 1 or claim 2 wherein R^2 is H or C_1-C_4 alkyl.
- 5 6. A compound as claimed in claim 5 wherein R^2 is H or methyl.
7. A compound as claimed in claim 1 or claim 2 wherein R^3 is H or C_1-C_6 alkyl.
8. A compound as claimed in claim 7 wherein R^3 is H or methyl.
9. A compound as claimed in claim 1 wherein R^4 is (a) C_1-C_4 alkyl substituted by $-R^{15}$, C_3-C_6 cycloalkyl or $-R^{15}$; or (b) $-(C_2-C_4 \text{ alkylene})-R^8$, or (c) $-(C_1-C_4 \text{ alkylene})-R^{13}$.
- 10 10. A compound as claimed in claim 9 wherein R^4 is $-\text{CH}_2R^{15}$, cyclohexyl, $-R^{15}$, $-\text{CH}_2\text{CH}_2R^8$, $-\text{CH}_2R^{13}$ or $-\text{CH}_2\text{CH}_2R^{13}$.
11. A compound as claimed in claim 1 or claim 2 wherein R^5 is $-\text{CH}_2\text{OH}$ or $-\text{CONH}(C_1-C_6 \text{ alkyl})$.
12. A compound as claimed in claim 11 wherein R^5 is $-\text{CH}_2\text{OH}$ or $-\text{CONHCH}_2\text{CH}_3$.
- 15 13. A compound as claimed in claim 1 wherein R^8 is (i) piperidin-1-yl, optionally substituted on a ring carbon atom by C_1-C_6 alkyl, C_3-C_8 cycloalkyl, phenyl, C_1-C_6 alkoxy- (C_1-C_6) -alkyl, $R^9R^9N-(C_1-C_6)$ -alkyl, fluoro- (C_1-C_6) -alkyl, $-\text{CONR}^9R^9$, $-\text{COOR}^9$ or C_2-C_5 alkanoyl, optionally substituted on a ring carbon atom not adjacent to a ring nitrogen atom by fluoro- (C_1-C_6) -alkoxy, halo, $-\text{OR}^9$, cyano, $-\text{S(O)}_mR^{10}$, $-\text{NR}^9R^9$, $-\text{SO}_2\text{NR}^9R^9$, $-\text{NR}^9\text{COR}^{10}$ or $-\text{NR}^9\text{SO}_2R^{10}$ and optionally benzo-fused, or (ii) $-\text{NR}^{11}R^{12}$.
- 20 14. A compound as claimed in claim 13 wherein R^8 is piperidin-1-yl, 4-(2-propyl)piperidin-1-yl, 2,2,6,6-tetramethylpiperidin-1-yl, 1,2,3,4-tetrahydroisoquinolin-2-yl or $-\text{NR}^{11}R^{12}$.
- 25 15. A compound as claimed in claim 1 or claim 2 wherein R^{11} is C_1-C_6 alkyl or C_3-C_8 cycloalkyl.
16. A compound as claimed in claim 15 wherein R^{11} is $-\text{CH}(\text{CH}_3)_2$, $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_3$, $-\text{CH}_2\text{CH}(\text{CH}_3)_2$, $-\text{C}(\text{CH}_3)_3$, $-\text{CH}(\text{CH}_2\text{CH}_3)_2$, cyclohexyl or cyclopentyl.
17. A compound as claimed in claim 1 wherein R^{12} is C_1-C_6 alkyl, C_3-C_8 cycloalkyl, $-\text{COR}^{10}$ or $-\text{SO}_2R^{10}$ said C_1-C_6 alkyl being optionally substituted by phenyl.
- 30 18. A compound as claimed in claim 17 wherein R^{12} is $-\text{CH}(\text{CH}_3)_2$, $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_3$, $-\text{CH}_2\text{CH}(\text{CH}_3)_2$, $-\text{C}(\text{CH}_3)_3$, $-\text{CH}(\text{CH}_2\text{CH}_3)_2$, $-\text{C}(\text{CH}_3)_2\text{Ph}$, $-\text{SO}_2\text{Ph}$, $-\text{COPh}$, cyclohexyl or cyclopentyl.
19. A compound as claimed in claim 1 or claim 2 wherein R^{13} is phenyl or pyridin-2-yl, each being optionally substituted by C_1-C_6 alkyl, C_1-C_6 alkoxy, halo or cyano.
- 35 20. A compound as claimed in claim 18 wherein R^{13} is phenyl or pyridin-2-yl.
21. A compound as claimed in claim 1 wherein R^{15} is pyrrolidin-3-yl or piperidin-4-yl, each being optionally substituted by R^{13} , C_1-C_6 alkyl, C_3-C_8 cycloalkyl or benzyl.

22. A compound as claimed in claim 21 wherein R¹⁵ is 1-benzyl-piperidin-4-yl, (1-benzyl-piperidin-4-yl)methyl, 1-(2-pyridinyl)piperidin-4-yl, or 1-benzyl-pyrrolidin-3-yl.

23. A compound as claimed in claim 1 or claim 2 wherein X is -CH₂-.

24. A compound as claimed in claim 1 or claim 2 wherein Y is CO or C=N(CN).

5 25. A compound as claimed in claim 1 which is selected from the group consisting of:

N-({9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-9*H*-purin-2-yl)methyl)-*N*'-[2-(diisopropylamino)ethyl] urea;

10 *N*-({9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-9*H*-purin-2-yl)methyl)-*N*'-[2-(1-piperidinyl)ethyl]urea;

(2*S*,3*S*,4*R*,5*R*)-5-{2-[[{2-(diisopropylamino)ethyl}amino]carbonyl]amino} methyl)-6-[(2,2-diphenylethyl)amino]-9*H*-purin-9-yl)-*N*-ethyl-3,4-dihydroxytetrahydro-2-furancarboxamide;

15 (2*S*,3*S*,4*R*,5*R*)-5-(6-[(2,2-diphenylethyl)amino]-2-[[{2-(1-piperidinyl)ethyl}amino]carbonyl]amino)methyl)-9*H*-purin-9-yl)-*N*-ethyl-3,4-dihydroxytetrahydro-2-furancarboxamide;

(2*S*,3*S*,4*R*,5*R*)-5-{2-[[{(*E*)-(cyanoimino){2-(1-piperidinyl)ethyl}amino}methyl]amino]methyl)-6-[(2,2-diphenylethyl)amino]-9*H*-purin-9-yl)-*N*-ethyl-3,4-dihydroxytetrahydro-2-furancarboxamide;

20 (2*S*,3*S*,4*R*,5*R*)-5-{2-[[{(benzylamino)carbonyl]amino}methyl)-6-[(2,2-diphenylethyl)amino]-9*H*-purin-9-yl)-*N*-ethyl-3,4-dihydroxytetrahydro-2-furancarboxamide;

(2*S*,3*S*,4*R*,5*R*)-5-{2-[[{(cyclohexylamino)carbonyl]amino}methyl)-6-[(2,2-diphenylethyl)amino]-9*H*-purin-9-yl)-*N*-ethyl-3,4-dihydroxytetrahydro-2-furancarboxamide;

25 (2*S*,3*S*,4*R*,5*R*)-5-{2-[[{2-[benzoyl(isopropyl)amino]ethyl}amino]carbonyl]amino}methyl)-6-[(2,2-diphenylethyl)amino]-9*H*-purin-9-yl)-*N*-ethyl-3,4-dihydroxytetrahydro-2-furancarboxamide;

(2*S*,3*S*,4*R*,5*R*)-5-[6-[(2,2-diphenylethyl)amino]-2-[[{2-[isopropyl(phenylsulfonyl)amino]ethyl}amino]carbonyl]amino}methyl)-9*H*-purin-9-yl)-*N*-ethyl-3,4-dihydroxytetrahydro-2-furancarboxamide;

30 *N*'-({9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-9*H*-purin-2-yl)methyl)-*N*-methyl-*N*'-[2-(2-pyridinyl)ethyl]urea;

(2*S*,3*S*,4*R*,5*R*)-5-{2-[[{[(1-benzyl-4-piperidinyl)amino]carbonyl]amino}methyl)-6-[(2,2-diphenylethyl)amino]-9*H*-purin-9-yl)-*N*-ethyl-3,4-dihydroxytetrahydro-2-furancarboxamide;

35 (2*S*,3*S*,4*R*,5*R*)-5-[6-[(2,2-diphenylethyl)amino]-2-[[{2-[(1-ethylpropyl)(isobutyl)amino]ethyl}amino]carbonyl]amino}methyl)-9*H*-purin-9-yl)-*N*-ethyl-3,4-dihydroxytetrahydro-2-furancarboxamide;

N-({9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-9*H*-purin-2-yl)methyl)-*N*'-{2-[(1-ethylpropyl)(isobutyl)amino]ethyl}urea;

- N*-[2-(3,4-dihydro-2(1*H*)-isoquinoliny)ethyl]-*N'*-{(9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-9*H*-purin-2-yl)methyl}urea;
(2*S*,3*S*,4*R*,5*R*)-5-{2-[[[2-(3,4-dihydro-2(1*H*)-isoquinoliny)ethyl]amino]carbonyl]amino]methyl}-6-[(2,2-diphenylethyl)amino]-9*H*-purin-9-yl]-*N*-ethyl-3,4-dihydroxytetrahydro-2-furancarboxamide;
- 5 (2*S*,3*S*,4*R*,5*R*)-5-{2-[[[2-(dibutylamino)ethyl]amino]carbonyl]amino]methyl}-6-[(2,2-diphenylethyl)amino]-9*H*-purin-9-yl]-*N*-ethyl-3,4-dihydroxytetrahydro-2-furancarboxamide;
(2*S*,3*S*,4*R*,5*R*)-5-{2-[[[2-(cyclopentyl(isopropyl)amino)ethyl]amino]carbonyl]amino]methyl}-6-[(2,2-diphenylethyl)amino]-9*H*-purin-9-yl]-*N*-ethyl-3,4-dihydroxytetrahydro-2-furancarboxamide;
- 10 *N*-{2-[cyclopentyl(isopropyl)amino]ethyl}-*N'*-{(9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-9*H*-purin-2-yl)methyl}urea;
(2*S*,3*S*,4*R*,5*R*)-5-(6-[(2,2-diphenylethyl)amino]-2-[[[1-(2-pyridinyl)-4-piperidinyl]amino]carbonyl]amino]methyl)-9*H*-purin-9-yl]-*N*-ethyl-3,4-dihydroxytetrahydro-2-furancarboxamide;
- 15 (2*S*,3*S*,4*R*,5*R*)-5-(6-[(2,2-diphenylethyl)amino]-2-[[methyl{[2-(1-piperidinyl)ethyl]amino]carbonyl]amino]methyl)-9*H*-purin-9-yl]-*N*-ethyl-3,4-dihydroxytetrahydro-2-furancarboxamide;
(2*S*,3*S*,4*R*,5*R*)-5-{2-[[[2-(*tert*-butyl(cyclohexyl)amino)ethyl]amino]carbonyl]amino]methyl}-6-[(2,2-diphenylethyl)amino]-9*H*-purin-9-yl]-*N*-ethyl-3,4-dihydroxytetrahydro-2-furancarboxamide;
- 20 *N*-{2-(*tert*-butyl(cyclohexyl)amino)ethyl}-*N'*-{(9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-9*H*-purin-2-yl)methyl}urea;
N-{(9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-9*H*-purin-2-yl)methyl}-*N*-[1-(2-pyridinyl)-4-piperidinyl]urea;
- 25 *N*-[(1-benzyl-4-piperidinyl)methyl]-*N'*-{(9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-9*H*-purin-2-yl)methyl}urea;
N-[(1-benzyl-4-piperidinyl)methyl]-*N'*-{(9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-9*H*-purin-2-yl)methyl}urea;
- 30 (2*S*,3*S*,4*R*,5*R*)-5-[6-[(2,2-diphenylethyl)amino]-2-[[[2-[isopropyl(1-methyl-1-phenylethyl)amino]ethyl]amino]carbonyl]amino]methyl)-9*H*-purin-9-yl]-*N*-ethyl-3,4-dihydroxytetrahydro-2-furancarboxamide;
N-{(9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-9*H*-purin-2-yl)methyl}-*N'*-{2-[isopropyl(1-methyl-1-phenylethyl)amino]ethyl}urea;
- 35 *N*-[2-(dicyclopentylamino)ethyl]-*N'*-{(9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-9*H*-purin-2-yl)methyl}urea;

N-({9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(9*H*-fluoren-9-ylmethyl)amino]-9*H*-purin-2-yl)methyl)-*N'*-[2-(diisopropylamino)ethyl]urea;

N-({9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-9*H*-purin-2-yl)methyl)-*N'*-[2-(2,2,6,6-tetramethyl-1-piperidinyl)ethyl]urea;

5 (2*S*,3*S*,4*R*,5*R*)-5-(6-[(2,2-diphenylethyl)amino]-2-[[[2-(4-isopropyl-1-piperidinyl)ethyl]amino]carbonyl]amino)methyl}-9*H*-purin-9-yl)-*N*-ethyl-3,4-dihydroxytetrahydro-2-furancarboxamide;

(2*S*,3*S*,4*R*,5*R*)-5-(6-[(2,2-diphenylethyl)amino]-2-[[[2-(2,2,6,6-tetramethyl-1-piperidinyl)ethyl]amino]carbonyl]amino)methyl}-9*H*-purin-9-yl)-*N*-ethyl-3,4-dihydroxytetrahydro-2-furancarboxamide;

10 *N*-[(3*R*)-1-benzylpyrrolidinyl]-*N'*-({9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-9*H*-purin-2-yl)methyl)urea;

(2*S*,3*S*,4*R*,5*R*)-5-{2-[[[[(3*R*)-1-benzylpyrrolidinyl]amino]carbonyl]amino)methyl}-6-[(2,2-diphenylethyl)amino]-9*H*-purin-9-yl)-*N*-ethyl-3,4-dihydroxytetrahydro-2-furancarboxamide;

15 (2*S*,3*S*,4*R*,5*R*)-5-(6-{[2,2-bis(4-chlorophenyl)ethyl]amino}-2-[[[2-(diisopropylamino)ethyl]amino]carbonyl]amino)methyl}-9*H*-purin-9-yl)-*N*-ethyl-3,4-dihydroxytetrahydro-2-furancarboxamide;

N-({6-[[2,2-bis(4-chlorophenyl)ethyl]amino]-9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-9*H*-purin-2-yl)methyl)-*N'*-[2-(diisopropylamino)ethyl]urea;

20 *N*-({6-[[2,2-bis(3-methylphenyl)ethyl]amino]-9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-9*H*-purin-2-yl)methyl)-*N'*-[2-(diisopropylamino)ethyl]urea;

N-({6-[[2,2-bis(3-chlorophenyl)ethyl]amino]-9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-9*H*-purin-2-yl)methyl)-*N'*-[2-(diisopropylamino)ethyl]urea;

25 *N*-({6-[[2,2-bis(3-methylphenyl)ethyl]amino]-9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-9*H*-purin-2-yl)methyl)-*N'*-[2-(diisopropylamino)ethyl]urea; and

(2*S*,3*S*,4*R*,5*R*)-5-{2-[[[2-(Diisopropylamino)ethyl]amino]carbonyl]amino)methyl}-6-[(1-naphthylmethyl)amino]-9*H*-purin-9-yl)-*N*-ethyl-3,4-dihydroxytetrahydro-2-furancarboxamide;

30 and the pharmaceutically acceptable salts and solvates thereof.

26. ~~A pharmaceutical composition including a compound of the formula (I) or a pharmaceutically acceptable salt or solvate thereof, as defined in any one of the preceding claims, together with a pharmaceutically acceptable excipient, diluent or carrier.~~

27. ~~A compound of the formula (I) or a pharmaceutically acceptable salt, solvate or composition thereof, as defined in any one of claims 1 to 25 and 26, respectively, for use as a medicament.~~

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28. The use of a compound of the formula (I) or a pharmaceutically acceptable salt, solvate or composition thereof, as defined in any one of claims 1 to 25 and 26, respectively, for the manufacture of a medicament to treat a disease for which an A2a receptor agonist is indicated.

5 29. The use of a compound of the formula (I) or a pharmaceutically acceptable salt, solvate or composition thereof, as defined in any one of claims 1 to 25 and 26, respectively, for the manufacture of an anti-inflammatory agent.

30. The use of a compound of the formula (I) or a pharmaceutically acceptable salt, solvate or composition thereof, as defined in any one of claims 1 to 25 and 26, respectively, for the manufacture of a medicament for the treatment of a respiratory disease.

10 31. Use as claimed in claim 30 where the disease is selected from the group consisting of adult respiratory distress syndrome (ARDS), bronchitis, chronic bronchitis, chronic obstructive pulmonary disease, cystic fibrosis, asthma, emphysema, bronchiectasis, chronic sinusitis and rhinitis.

15 32. The use of a compound of the formula (I) or a pharmaceutically acceptable salt, solvate or composition thereof, as defined in any one of claims 1 to 25 and 26, respectively, for the manufacture of a medicament for the treatment of septic shock, male erectile dysfunction, hypertension, stroke, epilepsy, cerebral ischaemia, peripheral vascular disease, post-ischaemic reperfusion injury, diabetes, rheumatoid arthritis, multiple sclerosis, psoriasis, allergic dermatitis, eczema, ulcerative colitis, Crohns disease, inflammatory bowel disease, *Helicobacter pylori*-gastritis, non-*Helicobacter pylori* gastritis, non-steroidal anti-inflammatory drug-induced damage to the gastro-intestinal tract or a psychotic disorder, or for wound healing.

20 33. A compound of the formula (I) or a pharmaceutically acceptable salt, solvate or composition thereof, as defined in any one of claims 1 to 25 and 26, respectively, for use as an A2a receptor agonist.

25 34. A compound of the formula (I) or a pharmaceutically acceptable salt, solvate or composition thereof, as defined in any one of claims 1 to 25 and 26, respectively, for use as an anti-inflammatory agent.

30 35. A compound of the formula (I) or a pharmaceutically acceptable salt, solvate or composition thereof, as defined in any one of claims 1 to 25 and 26, respectively, for use in the treatment of a respiratory disease.

35 36. A compound as claimed in claim 35 where the disease is selected from the group consisting of adult respiratory distress syndrome (ARDS), bronchitis, chronic bronchitis, chronic obstructive pulmonary disease, cystic fibrosis, asthma, emphysema, bronchiectasis, chronic sinusitis and rhinitis.

37. A compound of the formula (I) or a pharmaceutically acceptable salt, solvate or composition thereof, as defined in any one of claims 1 to 25 and 26, respectively, for use in

the treatment of septic shock, male erectile dysfunction, hypertension, stroke, epilepsy, cerebral ischaemia, peripheral vascular disease, post-ischaemic reperfusion injury, diabetes, rheumatoid arthritis, multiple sclerosis, psoriasis, allergic dermatitis, eczema, ulcerative colitis, Crohns disease, inflammatory bowel disease, *Helicobacter pylori*-gastritis, non-*Helicobacter pylori* gastritis, non-steroidal anti-inflammatory drug-induced damage to the gastro-intestinal tract or a psychotic disorder, or for wound healing.

38. A method of treatment of a mammal, including a human being, to treat a disease for which an A2a receptor agonist is indicated, including treating said mammal with an effective amount of a compound of the formula (I) or with a pharmaceutically acceptable salt, solvate or composition thereof, as defined in any one of claims 1 to 25 and 26, respectively.

39. A method of treatment of a mammal, including a human being, to treat an inflammatory disease, including treating said mammal with an effective amount of a compound of the formula (I) or with a pharmaceutically acceptable salt, solvate or composition thereof, as defined in any one of claims 1 to 25 and 26, respectively.

40. A method of treatment of a mammal, including a human being, to treat a respiratory disease, including treating said mammal with an effective amount of a compound of the formula (I) or with a pharmaceutically acceptable salt, solvate or composition thereof, as defined in any one of claims 1 to 25 and 26, respectively.

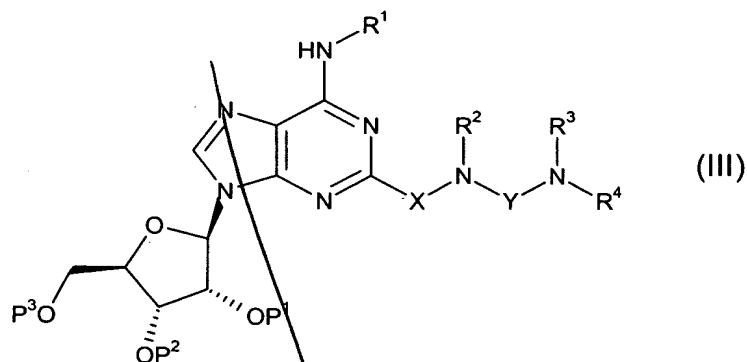
41. A method as claimed in claim 40 where the disease is selected from the group consisting of adult respiratory distress syndrome (ARDS), bronchitis, chronic bronchitis, chronic obstructive pulmonary disease, cystic fibrosis, asthma, emphysema, bronchiectasis, chronic sinusitis and rhinitis.

42. A method of treatment of a mammal, including a human being, to treat septic shock, male erectile dysfunction, hypertension, stroke, epilepsy, cerebral ischaemia, peripheral vascular disease, post-ischaemic reperfusion injury, diabetes, rheumatoid arthritis, multiple sclerosis, psoriasis, allergic dermatitis, eczema, ulcerative colitis, Crohns disease, inflammatory bowel disease, *Helicobacter pylori*-gastritis, non-*Helicobacter pylori* gastritis, non-steroidal anti-inflammatory drug-induced damage to the gastro-intestinal tract or a psychotic disorder, or for wound healing, including treating said mammal with an effective amount of a compound of the formula (I) or with a pharmaceutically acceptable salt, solvate or composition thereof, as defined in any one of claims 1 to 25 and 26, respectively.

43. A process for the preparation of a compound of the formula (I), as defined in claim 1, or a pharmaceutically acceptable salt or solvate thereof, which includes

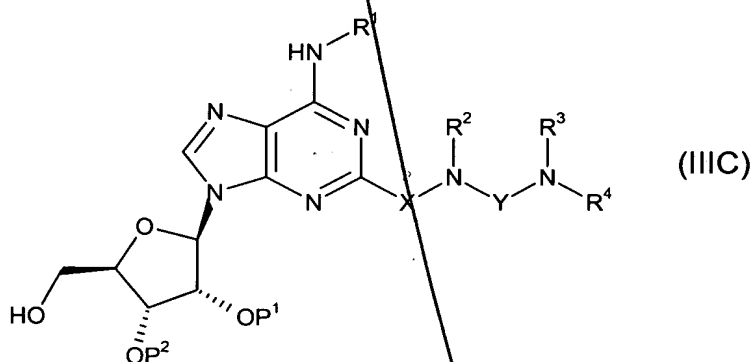
(a) deprotection of a compound of the formula

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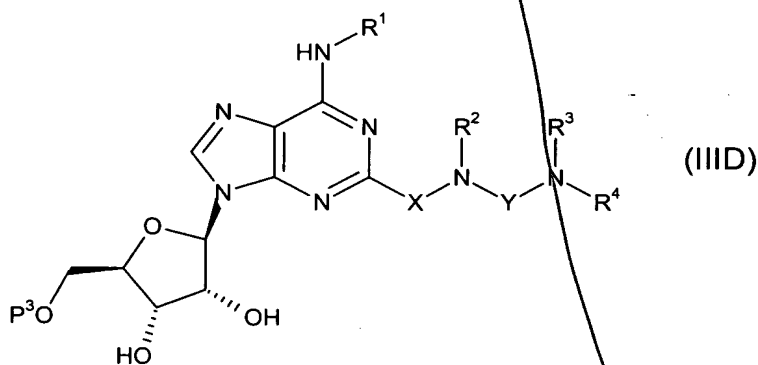
wherein R^1 , R^2 , R^3 , R^4 , X and Y are as defined in claim 1 and either P^1 , P^2 and P^3 , when taken separately, are protecting groups or P^1 and P^2 , when taken together are a protecting group and P^3 is a protecting group, the protecting groups being removed together or sequentially; or

- 5 (b) deprotection of a compound of the formula



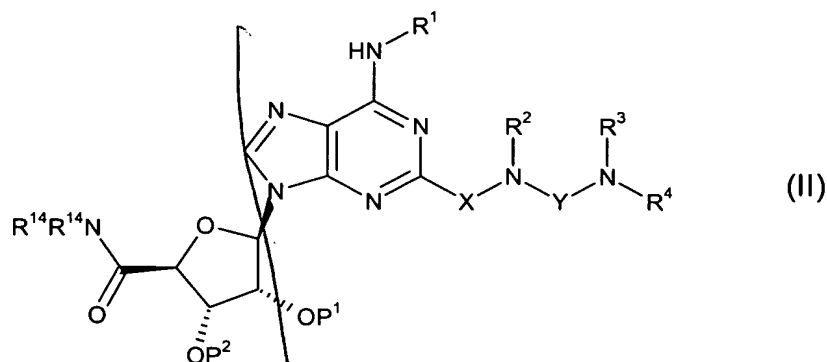
wherein R^1 , R^2 , R^3 , R^4 , X and Y are as defined in claim 1 and either P^1 and P^2 , when taken separately, are protecting groups or P^1 and P^2 , when taken together are a protecting group, the protecting groups P^1 and P^2 , when taken separately, being removed either together or sequentially; or

- 10 (c) deprotection of a compound of the formula



wherein P^3 is a protecting group and R^1 , R^2 , R^3 , R^4 , X and Y are as defined in claim 1; or

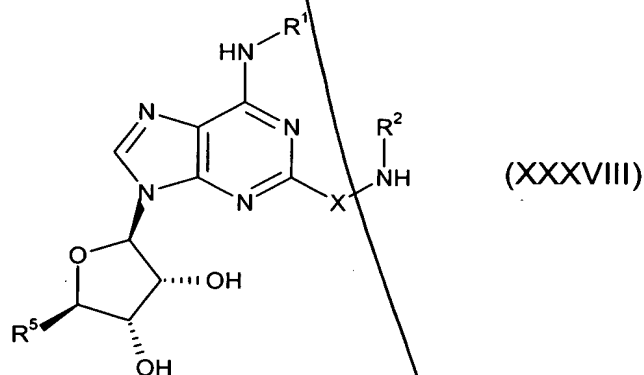
- 15 (d) deprotection of a compound of the formula



wherein R¹, R², R³, R⁴, R¹⁴, X and Y are as defined in claim 1 and either P¹ and P², when taken separately, are protecting groups or, P¹ and P², when taken together are a protecting group, the protecting groups P¹ and P², when taken separately, being removed either together or sequentially;

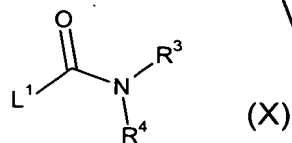
5 any one of said processes (a) to (d) being optionally followed by the conversion of the compound of the formula (I) to a pharmaceutically acceptable salt thereof.

44. A process for the preparation of a compound of the formula (I), as defined in claim 1, or a pharmaceutically acceptable salt or solvate thereof, which includes the reaction
10 of a compound of the formula



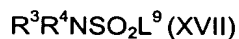
wherein R¹, R², R⁵ and X are as defined in claim 1 with

(a) a compound of the formula



15 wherein R³ and R⁴ are as defined in claim 1 and L¹ is a suitable leaving group, preferably imidazol-1-yl; or

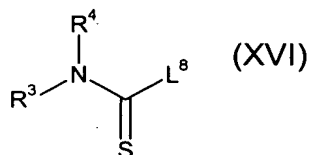
(b) a compound of the formula



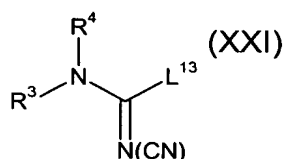
wherein R^3 and R^4 are as defined in claim 1 and L^9 is a suitable leaving group, preferably chloro; or

(c) a compound of the formula

wherein R^3 and R^4 are as defined in claim 1 and L^8 is a suitable leaving group, preferably methylthio or imidazol-1-yl; or



(d) a compound of the formula

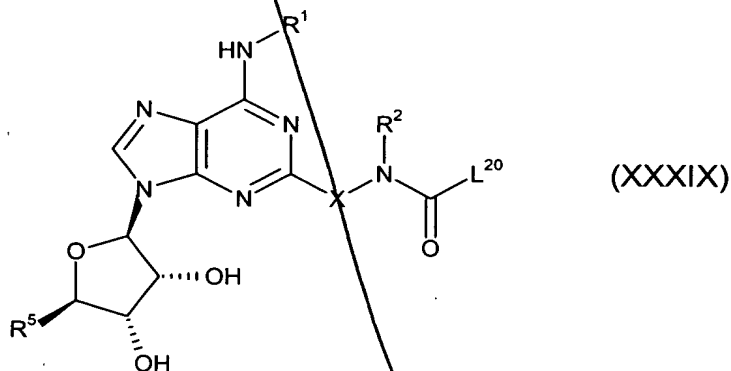


wherein R^3 and R^4 are as defined in claim 1 and L^{13} is a suitable leaving group, preferably methylthio;

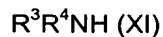
said process being optionally followed by the conversion of the compound of the formula (I) to a pharmaceutically acceptable salt thereof.

45. A process for the preparation of a compound of the formula (I), as defined in claim 1, or a pharmaceutically acceptable salt or solvate thereof, which includes

(a) the reaction of a compound of the formula

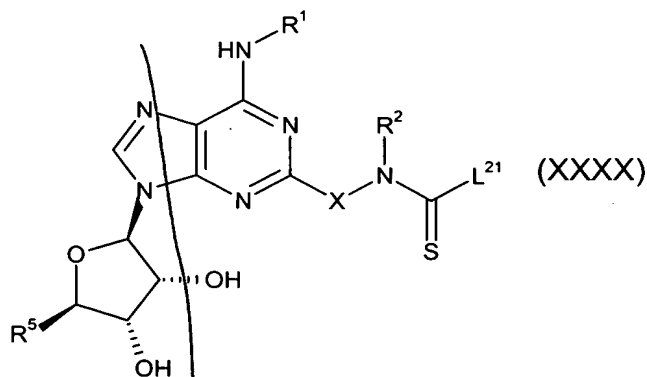


wherein R^1 , R^2 , R^5 and X are as defined in claim 1 and L^{20} is a suitable leaving group, preferably imidazol-1-yl, with a compound of the formula



wherein R^3 and R^4 are as defined in claim 1; or

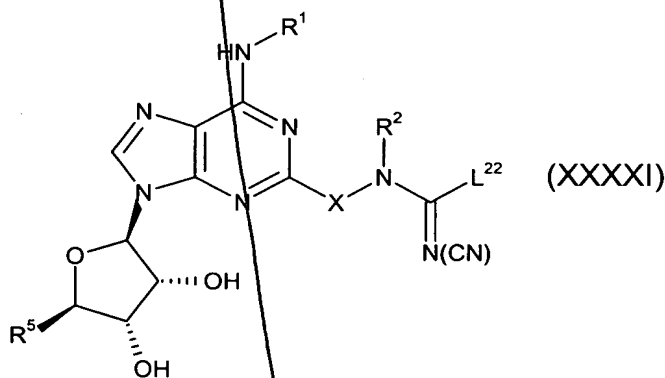
(b) the reaction of a compound of the formula



wherein R^1 , R^2 , R^5 and X are as defined in claim 1 and L^{21} is a suitable leaving group, preferably methylthio or imidazol-1-yl, with a compound of the formula



- 5 wherein R^3 and R^4 are as defined in claim 1; or
 (c) the reaction of a compound of the formula

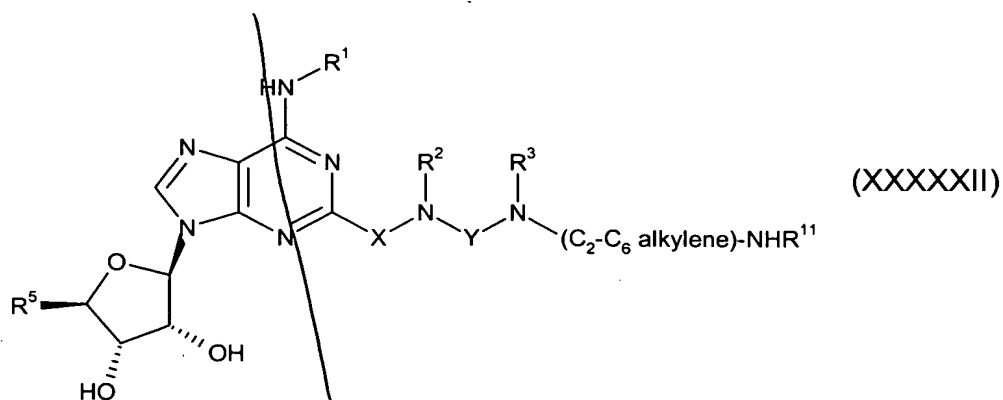


wherein R^1 , R^2 , R^5 and X are as defined in claim 1 and L^{22} is a suitable leaving group, preferably methylthio, with a compound of the formula



- 10 wherein R^3 and R^4 are as defined in claim 1;
 any one of said processes (a) to (c) being optionally followed by the conversion of the compound of the formula (I) to a pharmaceutically acceptable salt thereof.

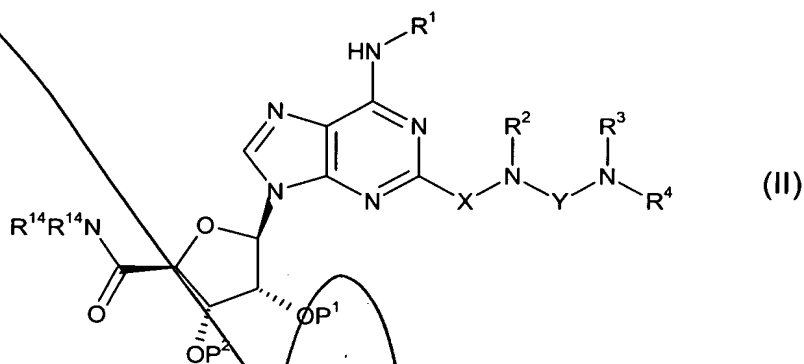
46. A process for the preparation of a compound of the formula (I), as defined in
 15 claim 1, or a pharmaceutically acceptable salt or solvate thereof, which includes the acylation or sulphonylation of a compound of the formula



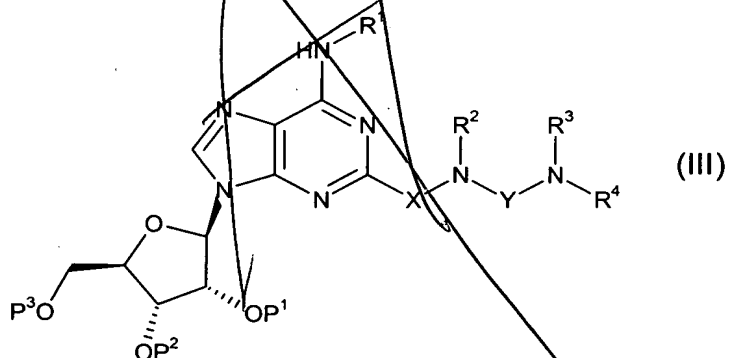
wherein R^1 , R^2 , R^3 , R^5 , R^{11} , X and Y are as defined in claim 1;

said process being optionally followed by the conversion of the compound of the formula (I) to a pharmaceutically acceptable salt thereof.

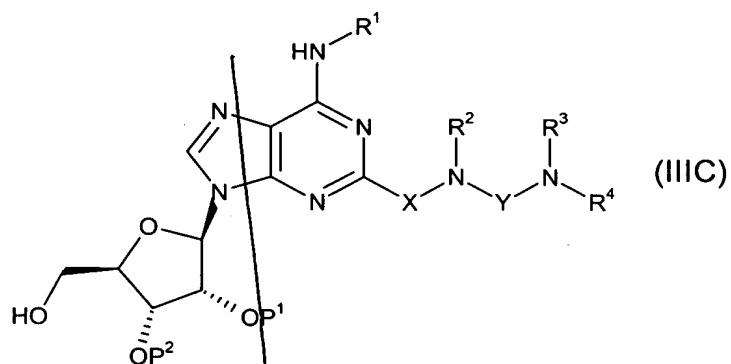
5 47. A compound of the formula



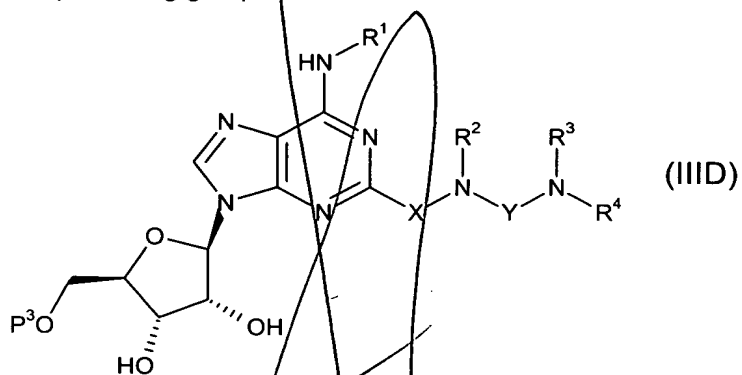
wherein P^1 and P^2 when taken separately, are protecting groups or, P^1 and P^2 , when taken together are a protecting group; or



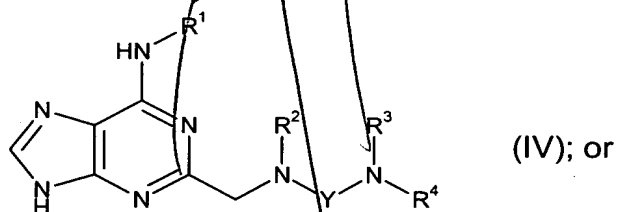
10 wherein either P^1 , P^2 and P^3 , when taken separately, are protecting groups or, P^1 and P^2 , when taken together are a protecting group and P^3 is a protecting group; or



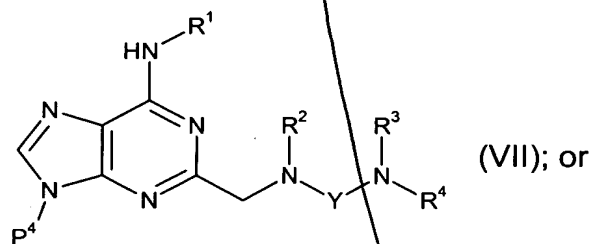
wherein either P¹ and P², when taken separately, are protecting groups or, P¹ and P², when taken together are a protecting group; or

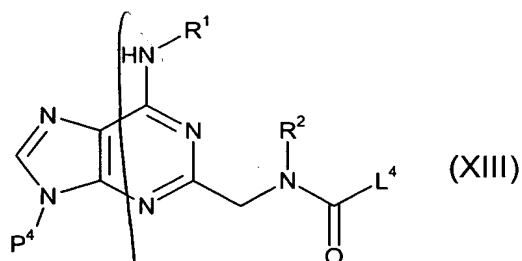


5 wherein P³ is a protecting group; or

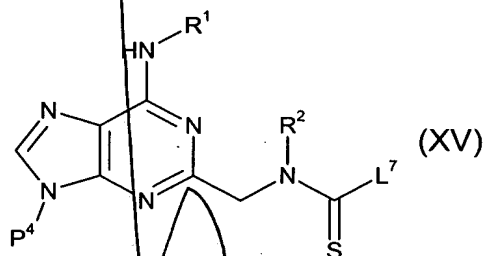


wherein P⁴ is a protecting group; or

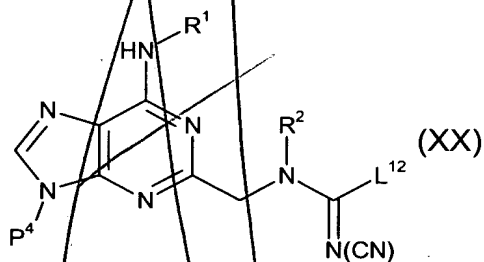




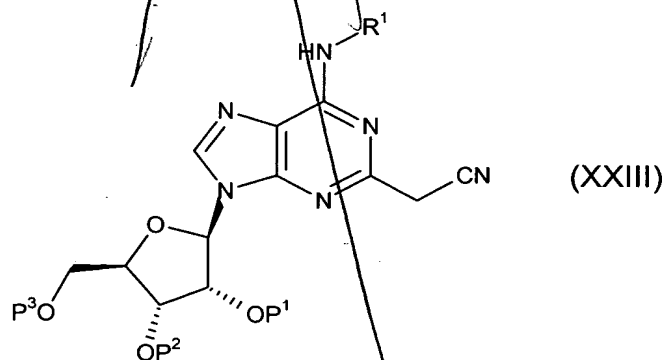
wherein L^4 is a suitable leaving group, preferably imidazol-1-yl, and P^4 is a protecting group; or



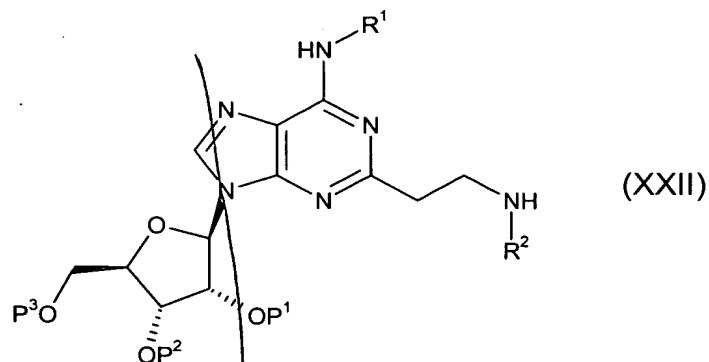
- 5 wherein L^7 is a suitable leaving group, preferably methylthio or imidazol-1-yl, and P^4 is a protecting group; or



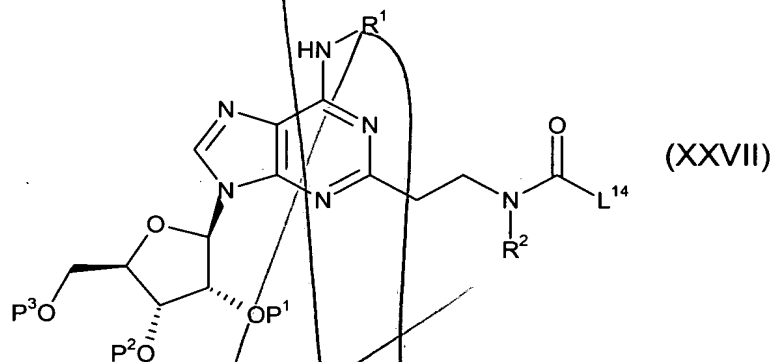
wherein L^{12} is a suitable leaving group, preferably methylthio, and P^4 is a protecting group; or



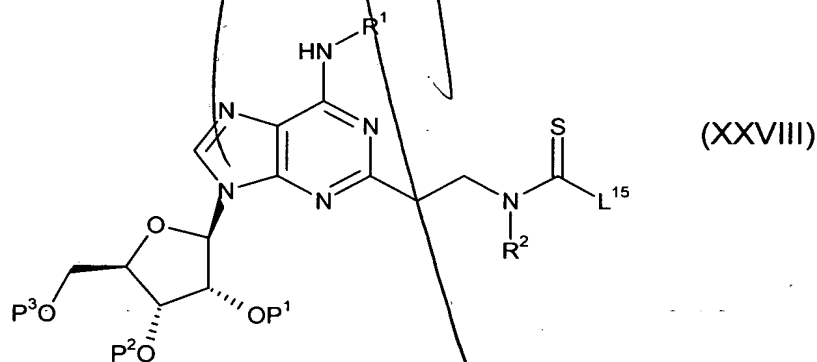
- 10 wherein either P^1 , P^2 and P^3 , when taken separately, are protecting groups or, P^1 and P^2 , when taken together are a protecting group and P^3 is a protecting group; or



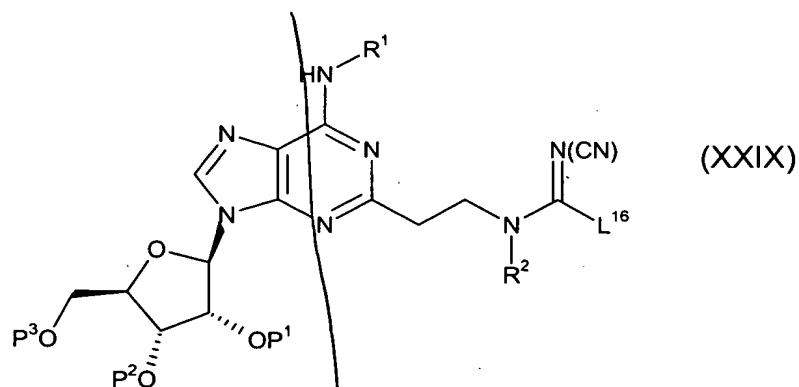
wherein either P¹, P² and P³, when taken separately, are protecting groups or, P¹ and P², when taken together are a protecting group and P³ is a protecting group; or



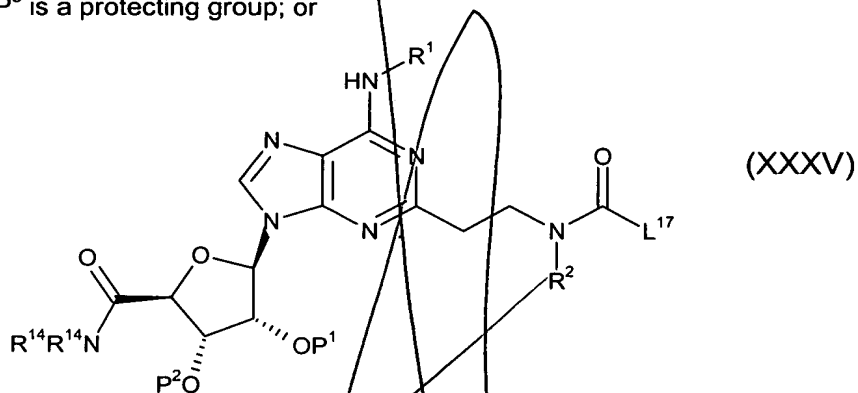
- 5 wherein L¹⁴ is a suitable leaving group, preferably imidazol-1-yl, and either P¹, P² and P³, when taken separately, are protecting groups or, P¹ and P², when taken together are a protecting group and P³ is a protecting group; or



- 10 wherein L¹⁵ is a suitable leaving group, preferably methylthio or imidazol-1-yl, and either P¹, P² and P³, when taken separately, are protecting groups or, P¹ and P², when taken together are a protecting group and P³ is a protecting group; or

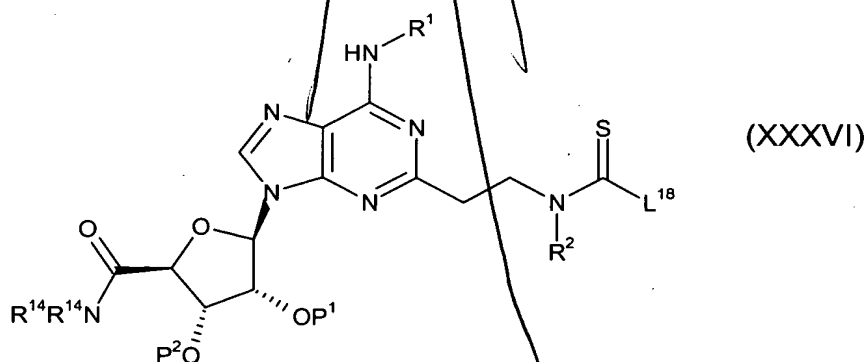


wherein L^{16} is a suitable leaving group, preferably methylthio, and either P^1 , P^2 and P^3 , when taken separately, are protecting groups or, P^1 and P^2 , when taken together are a protecting group and P^3 is a protecting group; or



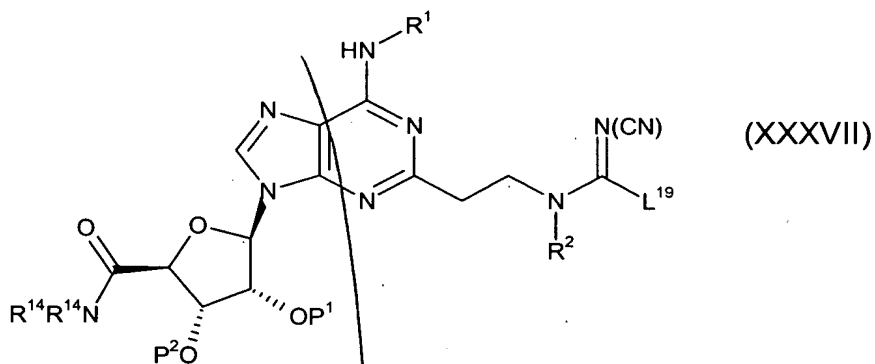
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wherein L^{17} is a suitable leaving group, preferably imidazol-1-yl, and either P^1 and P^2 , when taken separately, are protecting groups or, P^1 and P^2 , when taken together are a protecting group; or

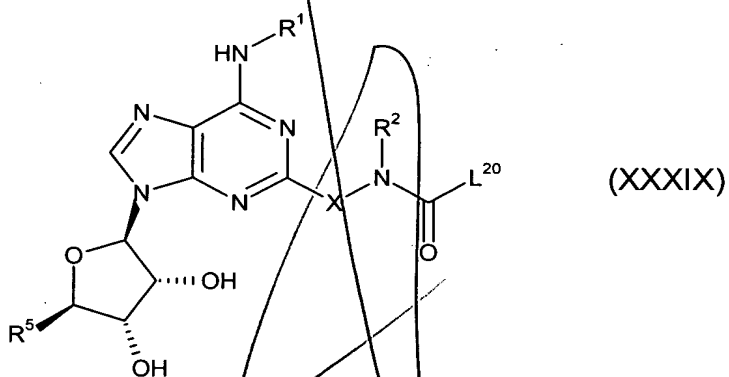


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wherein L^{18} is a suitable leaving group, preferably imidazol-1-yl or methylthio, and either P^1 and P^2 , when taken separately, are protecting groups or, P^1 and P^2 , when taken together are a protecting group; or

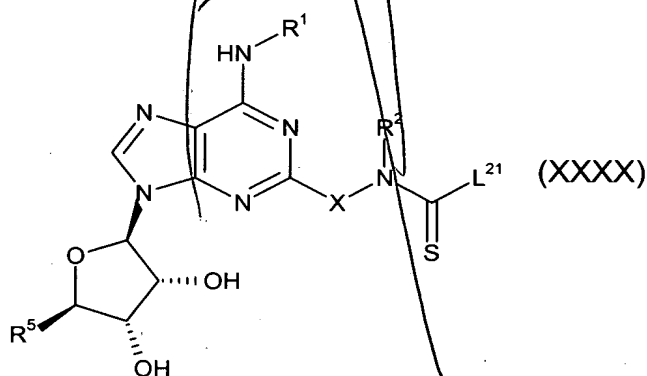


wherein L^{19} is a suitable leaving group, preferably methylthio, and either P^1 and P^2 , when taken separately, are protecting groups or, P^1 and P^2 , when taken together are a protecting group; or

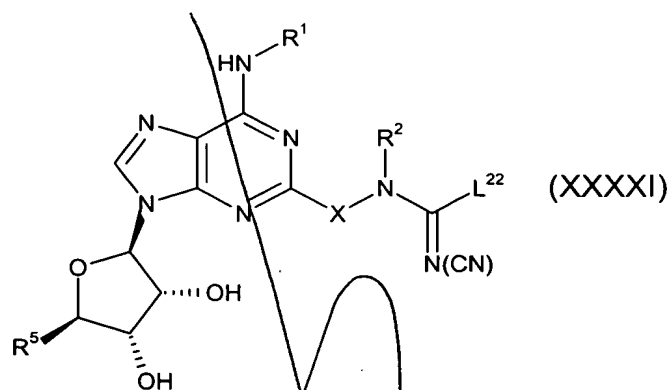


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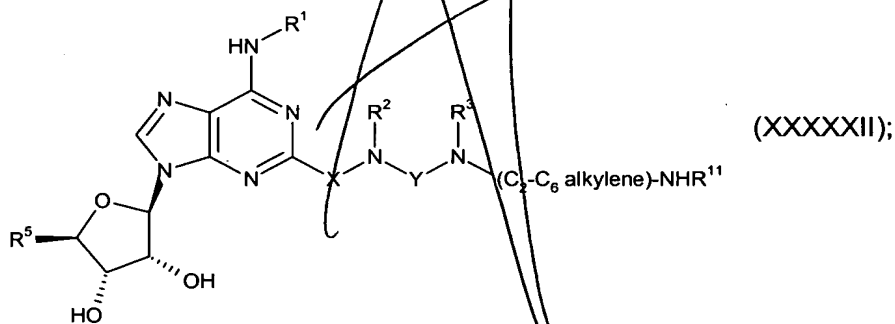
wherein L^{20} is a suitable leaving group, preferably imidazol-1-yl; or



wherein L^{21} is a suitable leaving group, preferably methylthio or imidazol-1-yl; or



wherein L²² is a suitable leaving group, preferably methylthio; or



5 the groups R¹, R², R³, R⁴, R⁵, R¹¹, R¹⁴, X and Y being as defined in claim 1.

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